### INTERNATIONAL SEARCH REPORT

International application No. PCT/KR2004/001996

## A. CLASSIFICATION OF SUBJECT MATTER

### **IPC7 C07D 403/06**

According to International Patent Classification (IPC) or to both national classification and IPC

#### 3. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) IPC7 C07D 403/06

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Korean patents and applications for inventions since 1975

Electronic data base consulted during the intertnational search (name of data base and, where practicable, search terms used) STN (Caslink)

### C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 6015825 A (PFIZER INC.) 18 January 2000 See the whole document.	1 - 3
A	US 5495024 A (TAKEDA CHEMICAL INDUSTRIES LTD.) 27 February 1996 See the whole document.	1 - 3
A	US 2001/0012843 A1 (BRISTOL-MYERS SQUIBB COMPANY) 09 August 2001 See the whole document.	1 - 3
A	US 5478826 A (J. URIAH & CIA. S.A.) 26 December 1995 See the whole document.	1 - 3
		ĺ

L	Further	documents a	are listed	in the	continuat	ion of	Box	C,	
---	---------	-------------	------------	--------	-----------	--------	-----	----	--

X See patent family annex.

- \* Special categories of cited documents:
- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier application or patent but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed
- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- "&" document member of the same patent family

Date of the actual completion of the international search

06 OCTOBER 2004 (06.10.2004)

Date of mailing of the international search report

**07 OCTOBER 2004 (07.10.2004)**Authorized officer

Name and mailing address of the ISA/KR



Korean Intellectual Property Office 920 Dunsan-dong, Seo-gu, Daejeon 302-701, Republic of Korea

Facsimile No. 82-42-472-7140

LEE, Mi Jeong

Telephone No. 82-42-481-5601



### INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.
PCT/KR2004/001996

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US6015825A	18.01.2000	ZA9605365A	29.12.199
		W09701552A1	16.01.199
		PL0324336A1	25.05.199
		N00976047A0	22.12.199
		JP10506652T2	30.06.199
		GB9512961A0	30.08.199
		EP0835252A1	15.04.199
		DE69629300T2	04.03.200
		AU0697405B2	08.10.199
US5495024	27.02.1996	ZA9209146A	25.05.199
		US5371100	06.12.199
		NZ0245226A	26.10.199
		JP6049033A2	22.02.199
		HU9203661A0	01.03.199
		F10925323A	26.05.199
		EP0548553A1	30.06.199
		CN1075143A	11.08.199
		CA2083679AA	26.05.199
		AU2859292A1	31.03.199
US2001/0012843A1	09.08.2001	W09961017A1	02.12.199
		US20010039353A1	08.11.200
		US6486159	26.11.200
		US6359141	19.03.200
		US6265584	24.07.200
		US1012843	09.08.200
		AU4009699A1	13.12.199
US5478826	26.12.1995	US5760245	02.06.199
		US5646294	08.07.199
		JP7002788A2	06.01.199
		ES2124332T3	01.02.199
		EP061703A1	28.09.199
		DK0617031T3	14.06.199
		DE69413281C0	22.10.199
		CA2129455AA	16.09.199
		AT0171175E	15.10.199

# PATENT COOPERATION TREATY

# **PCT**

REC'D 2 9 AUG 2005

INTERNATIONAL PRELIMINARY REPORT ON PATENTABIL INTPO (Chapter II of the Patent Cooperation Treaty)

PCT

(PCT Artcle 36 and Rule 70)

	<b>(4 0 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 </b>			
Applicant's or agent's file reference PCA40215-KIT	FOR FURTHER ACT	ION	See Form PCT/IPEA/4	16
International application No. PCT/KR2004/001996	International filing date(da	· · · · · · · · · · · · · · · · · · ·	·Priority date (day/month	
	09 AUGUST 2004 (		12 AUGUST 2003 (12.0	08.2003)
International Patent Classification (IPC	c) or national classification a	nd IPC		•
IPC7 C07D 403/06, A6	51K 31/41, A61P 3	31/10		
Applicant		· · · · · · · · · · · · · · · · · · ·		
KOREA RESEARCH INSTI	TUTE OF CHEMICA	L TECHNOLOG	Y et al	
This report is the international p     Authority under Article 35 and t     This REPORT consists of a total	ransmitted to the applicant a	ccording to Article 36.		xamining
3. This report is also accompanied	by ANNEXES, comprising		eet.	
a. (sent to the applicant a	nd to the International Burea	u) a total of	sheets, as follows:	
sheets of the de and/or sheets oc Administrative	scription, claims and/or draw intaining rectifications author Instructions).	vings which have been rized by this Authority	amended and are the basi (see Rule 70.16 and Secti	s for this report ion 607 of the
sheets which su beyond the disc	persede earlier sheets, but w losure in the international ap	nich this Authority con plication as filed, as inc	siders contain an amendme	ent that goes
Supplemental B	Sox.		•	
containing a sequence	nal Bureau only) a total of (in listing and/or tables related to ace Listing (see Section 802)	hereto, in electronic for	rm only, as indicated in the	e Supplemental
4. This report contains indications	relating to the following iter	ns:	4	
Box No. I Basis of the	ne report			
Box No. II Priority				
Box No. III Non-estal	olishment of opinion with reg	gard to novelty, inventi	ve step and industrial appl	icability
<u></u>	mity of invention	-		
Box No. V Reasoned citations a	statement under Article 350 and explanations supporting	2) with regard to novel	lty, inventive step or indus	trial applicability;
Box No. VI Certain d	ocuments cited	•		
Box No. VII Certain de	efects in the international app	olication		•
Box No. VIII Certain o	bservations on the internation	nal application		
Date of submission of the demand		Date of completion of	f this report	
13 JUNE 2005 (1	3.06.2005)	08 AUGUST	Г 2005 (08.08.2005)	
Name and mailing address of the IPE	A/KR	Authorized officer		
Korean Intellectual Prope 920 Dunsan-dong, Seo-g Republic of Korea	erty Office	LEE, Mi Jeong	· · ·	
Facsimile No. 82-42-472-7140		Telephone No. 82-4	12-481-5601	

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/KR2004/001996

Box No. 1	I Basis of the report	
1. With other	h regard to the language, this report is based on the international erwise indicated under this item.  This report is based on translations from the original language which is the language of a translation furnished for the purpose international search (under Rules 12.3 and 23.1(b))  publication of the international application (under Rules international preliminary examination (under Rules 55.2)	into the following languagees of:
to the	regard to the elements of the international application, this report ereceiving Office in response to an invitation under Article 14 article 14 to this report):  the international application as originally filed/furnished	rt is based on (replacement sheets which have been furnished re referred to in this reort as "originally filed" and are not
		as originally filed/furnished y this Authority on y this Authority on as originally filed/furnished
	the drawings: pages received by	as amended (together with any statment) under Article 19 y this Authority on y this Authority on as originally filed/furnished
3.	the sequence listing and/or any related table(s) - see Supplement  The amendments have resulted in the cancellation of:  the description, pages	tal Box Relating to Sequence Listing.
4.	1 6	sure as filed, as indicated in the Supplemental Box
* If item	m 4 applies, some or all of those sheets may be marked "supersed	

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No. PCT/KR2004/001996

TO NT. X7	The second of th	
DOX INO. V	Keasoned statement under Article 25/2) with record to make the second to	
	Reasoned statement under Article 35(2) with regard to novelty, inventive step	or industrial and the Litter.
	nitations and and a second second	or moustrial applicability:
	citations and explanations supporting such statement	# #y y

1.	Statement			
	Novelty (N)	Claims	1-3	YES
		Claims		_NO
	Inventive step (IS)	Claims	.1-3	YES
		Claims		_NO
	Industrial applicability (IA)	Claims	1 - 3	_YES
		Claims		_NO

## 2. Citations and explanations (Rule 70.7)

The following documents are referred to in this report:

D1: US 6015825 A (18 January 2000)

D2: US 5495024 A (27 February 1996)

D3: US 2001/0012843 A1 (9 August 2001)

D4: US 5478826 A (26 December 1995)

## Novelty and Inventive Step

The present invention relates to an azole derivative of formula (I) having a fluorovinyl moiety with antifungal activity against a wide spectrum of pathogenic fungi and advantageously low toxicity.

D1 discloses triazole derivatives which have antifungal activity and are useful in the treatment of fungal infections in animals, including humans.

D2 discloses an optically active azole compound which is useful as an antifungal agent.

D3 discloses water-soluble prodrugs of triazole antifungal compounds having a secondary or tertiary hydroxy group.

D4 discloses orally active azole derivatives with antifungal activity.

None of D1-D4 discloses an azole derivative of formula (I) in the present invention.

The antifungal azole derivatives in D1-D4 differ from the compounds of formula (I) in the present invention in that the compounds of formula (I) have different heteroaryl and aryl moieties including A on the right side of the backbone structure.

Those who skilled in the art would not be able to expect the changes in the backbone structure of the antifungal azole derivatives of formula (I) in the present invention from D1-D4.

Therefore, claims 1-3 of the present invention are considered to be novel and involve an inventive step over D1-D4 [Article 33(2) and 33(3) PCT].

### 2. Industrial Applicability

The subject-matter of claims 1-3 appears to be industrially applicable [Article 33(4) PCT].